

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

IN RE APPLICATION

OF: VON DEYN ET AL.

GROUP ART UNIT: 1613

SERIAL NO. 09/091,300

EXAMINER:

ROBERT GERSTL

FILED: JUNE 16, 1998

FOR: 3-HETEROCYCLYL-SUBSTITUTED BENZOYL DERIVATIVES

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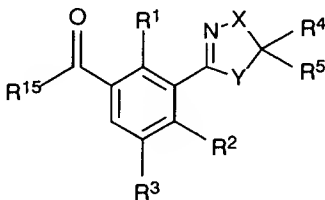
Sir:

In response to the Office Action of April 28, 1999, kindly enter and consider the following amendment and remarks for further prosecution of the above-identified application:

IN THE CLAIMS:

Amend Claims 1 to 4 to read as follows:

1. (amended) A 3-heterocyclyl-substituted benzoyl [derivative] compound of the formula I

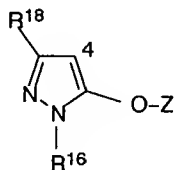


where the variables have the following meanings:

- R^1 , R^2 are hydrogen, nitro, halogen, cyano, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy, C_1 - C_6 -alkylthio, C_1 - C_6 -haloalkylthio, C_1 - C_6 -alkylsulfinyl, C_1 - C_6 -haloalkylsulfinyl, C_1 - C_6 -alkylsulfonyl or C_1 - C_6 -haloalkylsulfonyl;
- R^3 is hydrogen, halogen or C_1 - C_6 -alkyl;
- R^4 , R^5 are hydrogen, halogen, cyano, nitro, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl, $di(C_1$ - C_4 -alkoxy)- C_1 - C_4 -alkyl, $di(C_1$ - C_4 -alkyl)-amino- C_1 - C_4 -alkyl, $[2,2-di(C_1$ - C_4 -alkyl)-1-hydrazino]- C_1 - C_4 -alkyl, C_1 - C_6 -alkyliminoxy- C_1 - C_4 -alkyl, C_1 - C_4 -alkoxycarbonyl- C_1 - C_4 -alkyl, C_1 - C_4 -alkylthio- C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -cyanoalkyl, C_3 - C_8 -cycloalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxy- C_2 - C_4 -alkoxy, C_1 - C_4 -haloalkoxy, hydroxyl, C_1 - C_4 -alkylcarbonyloxy, C_1 - C_4 -alkylthio, C_1 - C_4 -haloalkylthio, $di(C_1$ - C_4 -alkyl)amino, COR^6 , phenyl or benzyl, it being possible for the two last-mentioned substituents to be fully or partially halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy or C_1 - C_4 -haloalkoxy; or
- R^4 and R^5 together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl; or
- R^4 and R^5 together with the corresponding carbon form a carbonyl or thiocarbonyl group;
- R^6 is hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxy- C_2 - C_4 -alkoxy, C_1 - C_4 -haloalkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkynyloxy or NR^7R^8 ;
- R^7 is hydrogen or C_1 - C_4 -alkyl;
- R^8 is C_1 - C_4 -alkyl;
- X is O, S, NR^9 , CO or $CR^{10}R^{11}$;
- Y is [O, S, NR^{12} , CO or] $CR^{13}R^{14}$;
- R^9 [, R^{12} are] is hydrogen or C_1 - C_4 -alkyl;
- R^{10} , R^{11} , R^{13} , R^{14} are hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxycarbonyl, C_1 - C_4 -haloalkoxycarbonyl or $CONR^7R^8$; or
- R^4 and R^9 or R^4 and R^{10} or [R^5 and R^{12} or] R^5 and R^{13} together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted

by C₁-C₄-alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

R¹⁵ is a pyrazole of the formula II which is linked in the 4-position



II

where

R¹⁶ is C₁-C₆-alkyl;

Z is H [or SO₂R¹⁷];

[R¹⁷ is C₁-C₄-alkyl, C₁-C₄-haloalkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;]

R¹⁸ is hydrogen or C₁-C₆-alkyl;

[where X and Y are not simultaneously sulfur;]

with the exception of

4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,

4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole, and

4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,

[4-[2-chloro-3-(4,5-dihydrothiazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole and]

[4-[2-chloro-3-(thiazoline-4,5-dione-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;]

or an agriculturally useful salt thereof.

2. (amended) [A] The 3-heterocycl-yl-substituted benzoyl [derivative] compound of the formula I [where the variables have the following meanings:] defined in claim 1, wherein

[R¹, R² are hydrogen, nitro, halogen, cyano, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;]

[R^3 is hydrogen, halogen or C_1 - C_6 -alkyl;]

R^4 , R^5 are hydrogen, halogen, cyano, nitro, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkoxy)- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkyl)-amino- C_1 - C_4 -alkyl, [2,2-di(C_1 - C_4 -alkyl)-1-hydrazino]- C_1 - C_4 -alkyl, C_1 - C_6 -alkyliminoxy- C_1 - C_4 -alkyl, C_1 - C_4 -alkoxycarbonyl- C_1 - C_4 -alkyl, C_1 - C_4 -alkylthio- C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -cyanoalkyl, C_3 - C_8 -cycloalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxy- C_2 - C_4 -alkoxy, C_1 - C_4 -haloalkoxy, C_1 - C_4 -alkylthio, C_1 - C_4 -haloalkylthio, di(C_1 - C_4 -alkyl)amino, COR^6 , phenyl or benzyl, it being possible for the two last-mentioned substituents to be fully or partially halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy or C_1 - C_4 -haloalkoxy; or

R^4 and R^5 together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl; or

R^4 and R^5 together with the corresponding carbon form a carbonyl or thiocarbonyl group; and

R^6 is C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxy- C_2 - C_4 -alkoxy, C_1 - C_4 -haloalkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkynyloxy or NR^7R^8 [;].

[R^7 is hydrogen or C_1 - C_4 -alkyl;]

[R^8 is C_1 - C_4 -alkyl;]

[X is O, S, NR^9 , CO or $CR^{10}R^{11}$;]

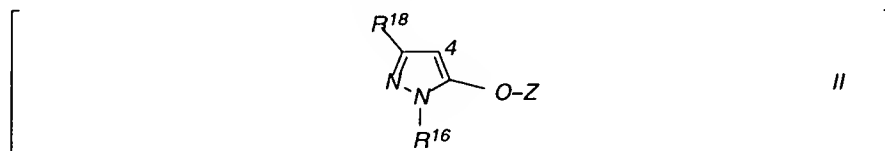
[Y is O, S, NR^{12} , CO or $CR^{13}R^{14}$;]

[R^9 , R^{12} are hydrogen or C_1 - C_4 -alkyl;]

[R^{10} , R^{11} , R^{13} , R^{14} are hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxycarbonyl, C_1 - C_4 -haloalkoxycarbonyl or $CONR^7R^8$; or]

[R^4 and R^9 or R^4 and R^{10} or R^5 and R^{12} or R^5 and R^{13} together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl;]

[R^{15} is a pyrazole of the formula II which is linked in the 4-position]



[where]

[R¹⁶ is C₁-C₆-alkyl;]

[Z is H or SO₂R¹⁷;]

[R¹⁷ is C₁-C₄-alkyl, C₁-C₄-haloalkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;]

[R¹⁸ is hydrogen or C₁-C₆-alkyl;]

[where X and Y are not simultaneously sulfur;]

[with the exception of]

[4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,]

[4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,]

[4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,]

[4-[2-chloro-3-(4,5-dihydrothiazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole and]

[4-[2-chloro-3-(thiazoline-4,5-dione-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;]

or an agriculturally useful salt thereof.

3. (twice amended) [A] The 3-heterocycl-yl-substituted benzoyl [derivative] compound of the formula I [as claimed] defined in claim 1, where R³ is hydrogen.

4. (twice amended) [A] The 3-heterocycl-yl-substituted benzoyl [derivative] compound of the formula I [as claimed] defined in claim 1, where

R¹, R² are nitro, halogen, cyano, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl.

Cancel Claims 5 and 6. Amend Claims 7 to 12 to read as follows:

7. (twice amended) [A] The 3-heterocycl-yl-substituted benzoyl [derivative] compound of the formula I [as claimed] defined in claim 1, where X is oxygen [and Y is CR¹³R¹⁴].

8. (twice amended) [A] The 3-heterocyclyl-substituted benzoyl [derivative] compound of the formula I [as claimed] defined in claim 1, where

R⁴ is halogen, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl, C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

R⁵ is hydrogen or C₁-C₄-alkyl; or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl; or

R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl.

9. (twice amended) [A] The 3-heterocyclyl-substituted benzoyl [derivative] compound of the formula I [as claimed] defined in claim 1, where

R⁴ is C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxycarbonyl or CONR⁷R⁸;

R⁵ is hydrogen or C₁-C₄-alkyl;

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl; or

R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl.

10. (twice amended) [A] The 3-heterocyclyl-substituted benzoyl [derivative] compound of the formula I [as claimed] defined in claim 1, where R⁴ and R⁵ are hydrogen.

11. (twice amended) [A] The 3-heterocyclyl-substituted benzoyl [derivative] compound of the formula I [as claimed] defined in claim 1, where R¹⁸ is hydrogen.

Cancel Claims 14 and 15. Amend Claims 16 to 23 to read as follows:

16. (twice amended) [A] The 3-heterocyclyl-substituted benzoyl [derivative] compound of the formula I [as claimed] defined in claim 1, where

R⁴ is halogen, cyano, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl, C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

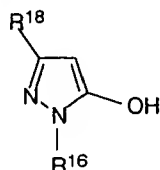
R⁵ is hydrogen or C₁-C₄-alkyl; or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl; or

R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

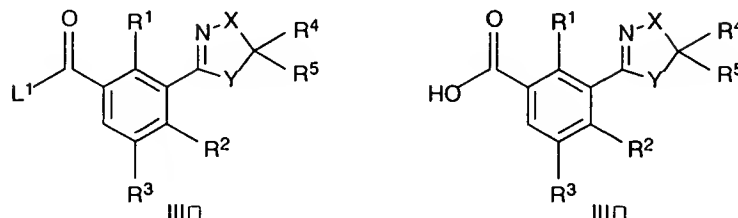
R¹⁸ is C₁-C₆-alkyl.

17. (twice amended) A process for the preparation of the 3-heterocyclyl-substituted benzoyl [derivatives] compound of the formula I [as claimed] defined in claim 1, which comprises acylating [the] a pyrazole of the formula II [where Z = H, where the variables R¹⁶ and R¹⁸ have the meanings given under claim 1]

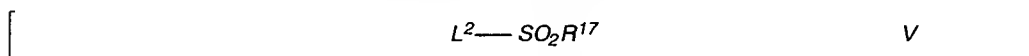


II [(where Z = H)]

with an activated carboxylic acid III□ or with a carboxylic acid III□

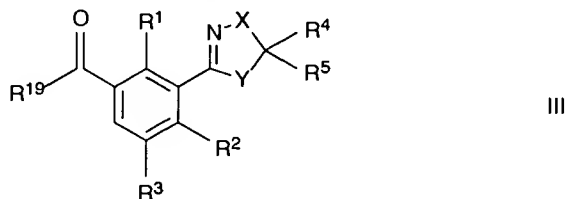


where [the variables R^1 to R^5 , X and Y have the meanings given under claim 1 and] L^1 is a nucleophilically displaceable leaving group[,] and subjecting the acylation product to a rearrangement reaction [in the presence or absence of a catalyst] to give the [compounds] compound I [(where $Z = H$) and, if desired, to prepare 3-heterocyclyl-substituted benzoyl derivatives of the formula I where $Z = SO_2R^{17}$, reacting the product with a compound of the formula V,]



[where R^{17} has the meaning given under claim 1 and L^2 is a nucleophilically displaceable leaving group].

18. (twice amended) A 3-heterocyclyl-substituted benzoic acid [derivative] compound of the formula III,



where

R^{19} is hydroxyl or a radical which can be removed by hydrolysis [and variables R^1 to R^5 , X and Y have the meanings given under the claims 1 to 16],

R^1 , R^2 are hydrogen, nitro, halogen, cyano, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy, C_1 - C_6 -alkylthio, C_1 - C_6 -haloalkylthio, C_1 - C_6 -alkylsulfinyl, C_1 - C_6 -haloalkylsulfinyl, C_1 - C_6 -alkylsulfonyl or C_1 - C_6 -haloalkylsulfonyl;

R^3 is hydrogen, halogen or C_1 - C_6 -alkyl;

R^4 , R^5 are hydrogen, halogen, cyano, nitro, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkoxy)- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkyl)-amino- C_1 - C_4 -alkyl, [2,2-di(C_1 - C_4 -alkyl)-1-hy-

drazino]-C₁-C₄-alkyl, C₁-C₆-alkyliminoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl, C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, hydroxyl, C₁-C₄-alkylcarbonyloxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be fully or partially halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl; or

R⁴ and R⁵ together with the corresponding carbon form a carbonyl or thiocarbonyl group;

R⁶ is hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy or NR⁷R⁸;

R⁷ is hydrogen or C₁-C₄-alkyl;

R⁸ is C₁-C₄-alkyl;

X is O, S, NR⁹, CO or CR¹⁰R¹¹;

Y is CR¹³R¹⁴;

R⁹ is hydrogen or C₁-C₄-alkyl;

R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-haloalkoxycarbonyl or CONR⁷R⁸; or

R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹³ together form a C₂-C₆-alkane-diyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl,

with the exception of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate, methyl 2-chloro-3-(4,5-dihydroisoxazol-2-yl)-4-methylsulfonylbenzoate and methyl 2,4-dichloro-3-(5-methylcarbonyloxy-4,5-dihydroisoxazol-3-yl)benzoate.

19. (twice amended) [A] The 3-heterocycl-yl-substituted benzoic acid [derivative] compound of the formula III [as claimed] defined in claim 18 [where the variables R¹ to R⁵ X and Y have the meanings given in claim 2], wherein

R⁴, R⁵ are hydrogen, halogen, cyano, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, di(C₁-C₄-alkoxy)-C₁-C₄-alkyl, di(C₁-C₄-alkyl)-amino-C₁-C₄-alkyl, [2,2-di(C₁-C₄-alkyl)-1-hydrazino]-C₁-C₄-alkyl, C₁-C₆-alkyliminoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl, C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be fully or partially halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy; or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl; or

R⁴ and R⁵ together with the corresponding carbon form a carbonyl or thiocarbonyl group; and

R⁶ is C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy or NR⁷R⁸.

20. (twice amended) [A] The 3-heterocyclyl-substituted benzoic acid [derivative] compound of the formula III [as claimed] defined in claim 18, where R¹⁹ is halogen, hydroxyl or C₁-C₆-alkoxy.
21. (twice amended) A composition comprising a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl [derivative] compound of the formula I or of [an] the agriculturally useful salt of I [as claimed] defined in claim 1, and auxiliaries conventionally used for the formulation of crop protection products.
22. (twice amended) A process for the preparation of [a] the composition [as claimed] defined in claim 21, which comprises mixing a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl [derivative] compound of the formula I or of [an] the agriculturally useful salt of I [as claimed in claim 1] and auxiliaries conventionally used for the formulation of crop protection products.

23. (twice amended) A method of controlling undesirable vegetation, which comprises allowing a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl [derivative] compound of the formula I or of [an] the agriculturally useful salt of I [as claimed] defined in claim 1 to act on plants, their environment and/or on seeds.

Cancel Claim 24. Add new Claims 25 to 34 as follows:

25. The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim 1, wherein

R¹ is halogen, C₁-C₆-alkyl, C₁-C₆-alkylthio or C₁-C₆-alkylsulfonyl;

R² is hydrogen, nitro, halogen, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl or C₁-C₆-alkylsulfonyl;

R³ is hydrogen;

R⁴, R⁵ are hydrogen, halogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkylthio or COR⁶; or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

R⁶ is C₁-C₄-alkoxy;

X is O or CR¹⁰R¹¹;

R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl or C₁-C₄-haloalkyl; or

R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl.

26. The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim 1, wherein

X is O;

R⁴ is C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxycarbonyl or CONR⁷R⁸;

R⁵ is hydrogen or C₁-C₄-alkyl; or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl; or

R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl, and

R¹⁸ is hydrogen.

27. The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim 1, wherein

X is O;

R² is nitro, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

R⁴, R⁵ are hydrogen; and

R¹⁸ is hydrogen.

28. The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim 1, wherein

X is O;

R¹ is nitro, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

R² is nitro, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

R⁴, R⁵ are hydrogen; and

R¹⁸ is hydrogen.

29. The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim 1, wherein R¹ is methyl, R² is methylsulfonyl, R³ is hydrogen, R¹⁶ is methyl and R¹⁸ is hydrogen.

30. 4-[2-Methyl-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-methyl-5-hydroxy-1H-pyrazole.

31. The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim 1, wherein R¹ is methyl, R² is methylsulfonyl, R³ is hydrogen, R¹⁶ is ethyl and R¹⁸ is hydrogen.

32. The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim 1, wherein

X is O;

R² is nitro, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkyl-

thio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

R⁴, R⁵ are hydrogen; and

R¹⁸ is methyl.

33. The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim 1, wherein

X is O;

R¹ is nitro, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

R² is nitro, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

R⁴, R⁵ are hydrogen; and

R¹⁸ is methyl.

34. The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim 1, wherein R¹ is methyl, R² is methylsulfonyl, R³ is hydrogen, R¹⁶ is methyl and R¹⁸ is methyl.

R E M A R K S

Claims 1 to 4, 7 to 13, 16 to 23 and 25 to 34 are now pending in this case. Claims 5, 6, 14, 15 and 24 have been canceled, Claims 25 to 34 have been added.

Claims 1 to 4, 7 to 11 and 16 to 23 have been revised for clarity and to better meet the U.S. formal requirements. Claims 25 to 34 have been added to further bring out some of the subsidiary features of applicants' benzoyl compounds. The subject matter of the newly entered claims is supported by Claim 1 and, *inter alia*, the following sections of original disclosure of the invention:

Claim 25: page 102, indicated line 37, to page 103, indicated line 45, of the application;

Claim 26: page 23, indicated lines 14 to 20, and page 24, indicated line 13 to 42, of the application;

Claim 27: page 23, indicated lines 14 to 20, page 24, indicated line 44, to page 25, indicated line 3, and page 25, indicated lines 21 to 39, of the application;

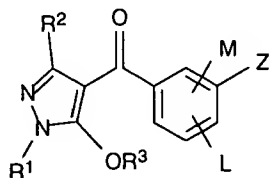
- Claim 28: page 23, indicated lines 14 to 20, page 24, indicated line 44, to page 25, indicated line 38, of the application;
- Claim 29: page 42, indicated lines 8 to 17, of the application;
- Claim 30: page 42, indicated lines 8 to 17, in combination with page 36, line 4 of the table (*compound Ib23.105*), of the application;
- Claim 31: page 46, indicated line 40, to page 47, indicated line 7, of the application;
- Claim 32: page 23, indicated lines 14 to 20, page 24, indicated lines 44 to 46, page 26, indicated lines 18 to 20, and page 26, indicated lines 38, to page 27, indicated line 9, of the application;
- Claim 33: page 23, indicated lines 14 to 20, page 24, indicated lines 44 to 46, and page 26, indicated line 18, to page 27, indicated line 9, of the application;
- Claim 34: page 37, indicated lines 13 to 23, of the application.

No new matter has been added. In view of the foregoing, all of the claims should be in proper form.

The Examiner has rejected Claims 24 under the provisions of Sections 101 and 112, ¶2, of the Patent Act. Withdrawal of the respective rejection is respectfully solicited in light of the cancellation of Claim 24.

The Examiner has rejected Claims 1 to 24 under 35 U.S.C. §103(a) as being unpatentable over the disclosure of *von Deyn et al.* (WO-A 96/26,206, corresponds to US-A 5,846,907 (see ie. No. 87 on the face of the U.S. patent)). Favorable reconsideration of the Examiner's position is respectfully urged in light of the foregoing amendment and the following remarks:

The disclosure of *von Deyn et al.* relates to herbicidally active pyrazol-4-ylbenzoyls of the formula (i)



(i)

wherein

R^1 (which corresponds to R^{16} of applicants' compounds I) denotes C_1 - C_4 -alkyl;

R^2 (which corresponds to R^{18} of applicants' compounds I) denotes hydrogen, C_1 - C_4 -alkyl or C_1 - C_4 -haloalkyl;

R^3 (which corresponds to the hydrogen atom in the position Z of applicants' compounds I) denotes hydrogen, C_1 - C_4 -alkylsulfonyl, phenylsulfonyl or alkylphenylsulfonyl;

Z (which corresponds to the 4,5-dihydroisoxazol-3-yl ring of applicants' compounds I) denotes an optionally substituted saturated or unsaturated 5- or 6-membered heterocycle, and corresponding fused ring systems, having one to three heteroatoms selected from the group consisting of oxygen, sulfur and nitrogen, and

M and L (which correspond to two of the radicals R^1 , R^2 and R^3 of applicants' compounds I) denote hydrogen; optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl or C_1 - C_4 -alkoxy; halogen; cyano; nitro; $-SR^7$; $-O-SR^7$; $-NR^9-SR^7$; $-SOR^7$; $-O-SOR^7$; $-NR^9-SOR^7$; $-SO_2R^7$; $-O-SO_2R^7$; $-NR^9-SO_2R^7$; $-CO-R^8$; $-O-COR^8$; or $-NR^9-COR^8$;

(ie. col. 1, indicated line 20, to col. 2, indicated line 16, of US-A 5,846,907). As such, the generic definition of the pyrazol-4-ylbenzoyls of von Deyn et al. encompasses applicants' 4,5-dihydroisoxazol-3-ylbenzoyl compounds (I).

Von Deyn et al. further specify the optionally substituted saturated or unsaturated 5- or 6-membered heterocycle in the position of Z as encompassing -for example- 5-membered heteroaryl radicals (id., col. 14, indicated lines 38 to 50), 6-membered heteroaryl radicals (id., col. 14, indicated lines 51 to 55), and 5- or 6-membered heterocycles which are saturated or are partially unsaturated (id., col. 14, indicated line 56, to col. 15, indicated line 33), and mention "in particular" the radicals 2-thiazolyl and 3-isothiazolyl (id., col. 14, indicated line 50), as well as the radicals 2-tetrahydrofuranyl, 1,3-dioxolan-2-yl and 1,3-dioxan-2-yl (id. col. 16, indicated lines 33 and 34). Furthermore, von Deyn et al. disclosed 188 particularly preferred embodiments of their compounds in Table I (id. col. 16 to col. 20), 183 of which carry an unsubstituted or substituted 5-membered or 6-membered, optionally benzo-fused, hetaryl radical. In the remaining five embodiments (Nos. 1.79, 1.80, 1.89, 1.90 and 1.91, id. col. 18) carry a substituted 4,5-dihydroisoxazol-3-yl, 5,6-dihydro-4H-1,3-thiazin-2-yl, thiazolin-2-yl, 3H-1,2,4-dithiazol-5-yl or 2H-1,3,4-dithia-

zol-5-yl radical, respectively. The compounds (i) are further illustrated in the experimental section of the disclosure of *von Deyn et al.* by compounds 5.1 to 5.10 (*id. col. 29*).

Applicants' invention relates to a specific group of compounds within the generic disclosure of *von Deyn et al.* which is structurally characterized as carrying a 4,5-dihydroisoxazol-3-yl radical in m-position of the phenyl ring, relative to the carbonyl group (*see ie. claim 1 of the present application*). Applicants' have found that this specific group of compounds within the generic concept disclosed by *von Deyn et al.* stand out for their distinctly improved activity against unwanted plants and their improved compatibility with crop plants (*see ie. page 4, indicated lines 4 to 15, page 154, indicated lines 2 to 11, and page 160, indicated lines 25 to 29, of the application*). Due to the improvement of herbicidal activity against unwanted plants in combination with the reduced harmful effect on crop plants the specific group of 4,5-dihydroisoxazol-3-yl-substituted benzoylpyrazoles of applicants' invention have a distinct advantage over the compounds (i) disclosed by *von Deyn et al.*, a finding which could not be expected on the basis of the disclosure of the prior art. To further corroborate this distinct advantage of applicants' compounds (I) Dr. Witschel who is one of the inventors of the invention disclosed and claimed in the present application has investigated the herbicidal activity of the 4,5-dihydroisoxazol-3-yl-substituted benzoylpyrazoles Nos. 5.4 and 5.5 (*col. 29 of US-A 5,846,907*) and No. 1.267 of *WO-A 96/26,206* (*corresponds to compound No. 1.79, col. 18 of US-A 5,846,907*) and the activity of those compounds within applicants' invention which come structurally closest to these prior art compounds. The results of these investigations are compiled in the tables of the first of Dr. Witschel's Declarations herewith enclosed. It is immediately apparent when the herbicidal effectivity of applicants' compounds and of the prior art compounds are compared (*ie. the data set forth in Tables 1 and 2, pages 2 and 3, of Dr. Witschel's Declaration*) that a sufficient effect against unwanted plants can only be achieved at application rates which are already causing significant harm to the crop plant. However, the structurally closest compounds of applicants' invention are well tolerated by the crop plants and have a high(er) effectivity against the unwanted plants. The beneficial effect becomes even more apparent when the effectivity of applicants' compound 3.35 at an application rate of 62.5 g/ha is compared with the effectivity of *von Deyn et al.*'s herbicide No. 5.5 at an application rate of 125 g/ha,

or when the effectivity of applicants' compound 3.90 at an application rate of 31.2 g/ha is compared with the effectivity of *von Deyn et al.*'s herbicide No. 5.5 at an application rate of 62.5 g/ha. In both instances applicants' compound results in a better herbicidal effect than the prior art compound although the application rate of the compounds according to the present invention is reduced by half compared to the application rate of the prior art compound.

The comparison of the herbicidal effect of applicants' compounds A and B and the prior art herbicides Nos. 5.4 and No. 1.267 (or No. 1.79 as this compound is designated in the corresponding U.S. patent) of *von Deyn et al.* further corroborate the distinct and unexpected improvement of the herbicidal effects of applicants' compounds (see Tables 3 and 4, pages 3 and 4, of Dr. Witschel's Declaration).

In his second Declaration, Dr. Witschel has compiled results of further investigations into the herbicidal activity of applicants' compounds represented by formula I which vary in the choice of the variable radicals to further corroborate that the improved herbicidal efficacy of applicants' compounds is not limited to those compounds which come structurally closest to the known herbicides. It is respectfully solicited that the Examiner reconsider his position in light of the foregoing amendment and remarks and in light of the attached.

The Examiner has rejected Claims 1 to 17 and 21 to 24 under the judicially created doctrine of obviousness type double patenting over Claims 1 to 8 of *von Deyn et al.* (US-A 5,846,907). Favorable reconsideration of the Examiner's position is solicited in light of the foregoing and the following remarks:

According to long-standing holdings of the Courts, a double patenting rejection of the obviousness type is analogous to a failure to meet the non-obviousness requirement of 35 U.S.C. §103 except that the reference underlying the double patenting rejection is not considered prior art. Therefore, any analysis employed in an obviousness-type double patenting rejection parallels the guidelines for analysis of a 35 U.S.C. §103 obviousness determination (see eg. *In re Braithwaite*, 379 F.2d 594, 154 USPQ 29 (CCPA 1967); *In re Longi*, 759 F.2d 887, 225 USPQ 645 (CAFC 1985); *In re Braat*, 837 F.2d 589, 19 USPQ2d 1289 (CAFC 1991)). While the determination underlying the obviousness-type double patenting analysis is made with a view to the claimed invention rath-

er than the disclosure of the reference underlying the obviousness-type double patenting rejection, the specification can always be used as a dictionary to learn the meaning of a term in the earlier claim (see eg. In re Boylan, 392 F.2d 1017, 157 USPQ 370 (CCPA 1968)). Also, those portions of the specification which provide support for the earlier claims may be examined and considered when addressing the issue of whether a claim in the application defines a variation of an earlier claimed invention (ie. In re Vogel, 422 F.2d 438, 164 USPQ 619 (CCPA 1970)). Since the scope of a claimed invention, however, can in no instance exceed the scope of the disclosure by which the respective claims are supported, the rebuttal of a rejection under Section 103 of the Patent Act necessarily defeats a finding of obviousness-type double patenting where the claims in question are derived from the disclosure which served as a basis for the rejection under 35 U.S.C. §103, as is the case here. Favorable reconsideration of the Examiner's position is, therefore, respectfully solicited.

REQUEST FOR EXTENSION OF TIME:

It is respectfully requested that a five month extension of time be granted in this case. A check for the \$1,850.00 fee is attached.

Please charge any shortage in fees due in connection with the filing of this paper, including Extension of Time fees to Deposit Account No. 11.0345. Please credit any excess fees to such deposit account.

Respectfully submitted,
KEIL & WEINKAUF



Herbert B. Keil
Reg. No. 18,967

1101 Connecticut Ave, N.W.
Washington, D.C. 20036
(202) 659-0100

Encl.: Dr. Witschel's Declaration dated October 21, 1999 (No. I)
Dr. Witschel's Declaration dated October 21, 1999 (No. II)

HBK/BAS

IN THE UNITED STATES PATENT & TRADEMARK OFFICE

In re Application of
von Deyn et al.
Serial No. 09/091,300
Filed: January 08, 1998 as PCT international application
Priority: January 17, 1997
For: 3-Heterocyclyl-substituted benzoyl derivatives

DECLARATION

I, Matthias Christian Witschel, a doctor of natural sciences, a citizen of the Federal Republic of Germany and residing at 81, Wittelsbachstrasse, 67061 Ludwigshafen, Germany, declare as follows:

I am a fully trained chemist, having studied chemistry at the University of Erlangen-Nuremberg, Germany, from 1985 to 1994;

I was awarded my doctor's degree by the University of Erlangen-Nuremberg in 1994;

I was a post-doctoral fellow at the Stanford University from 1994 to 1995;

Since 1996, when I joined BASF Aktiengesellschaft of 67056 Ludwigshafen, Germany, I have been engaged in the synthesis of herbicides and herbicide screening;

I am one of the inventors of the invention disclosed and claimed in Application No. 09/091,300 and therefore I am familiar with the field to which the said application relates.

I have studied the Office Action that has issued in this case and read the references cited therein.

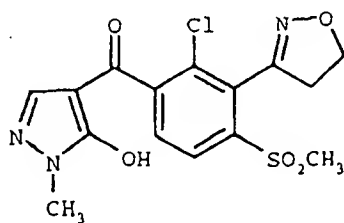
In order to prove the superior herbicidal action of the inventive 3-heterocyclyl-substituted benzoyl derivatives I over the compounds of von Deyn et al. (WO 96/26,206 \equiv US 5,846,907) I compared the herbicidal activity of compounds 3.35, 3.90, A and B according to application Serial No. 09/091,300 with the structurally closest compounds of von Deyn 1.267, 5.4 and 5.5.

The experiments were carried out as described in Application Ser. No. 09/091,300 (see page 159, line 16 to page 160, line 9). The plants used in these experiments belong to the following species:

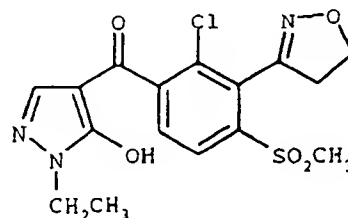
09/091,300

Scientific Name	Common name
<i>Abutilon theophrasti</i>	Velvetleaf
<i>Amaranthus retroflexus</i>	Pigweed
<i>Avena fatua</i>	Wild oat
<i>Brachiaria platyphylla</i>	Signalgrass
<i>Chenopodium album</i>	Lambsquarters
<i>Digitaria sanguinalis</i>	Crabgrass
<i>Echinochloa crus-galli</i>	Barnyardgrass
<i>Gallium aparine</i>	Catchweed
<i>Polygonum persicaria</i>	Ladysthumb
<i>Setaria italica</i>	Millet
<i>Setaria viridis</i>	Green foxtail
<i>Sinapis alba</i>	White mustard
<i>Stellaria media</i>	Common chickweed
<i>Zea mays</i>	Corn

Table 1: Herbicidal action of compound 3.35 of the present invention and comparison compound no. 5.5 of WO 96/26,206 at an application rate of 125 and 62.5 g/ha of active ingredient (post emergence treatment in greenhouse)



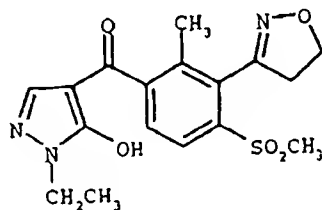
compound 3.35

comparison compound no. 5.5
(WO 96/26,206)

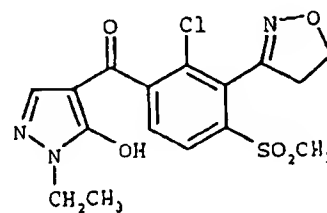
Application rate	125 g/ha	62.5 g/ha	125 g/ha	62.5 g/ha
	Damage [%]			
Crop plant				
<i>Zea mays</i>	0	0	20	10
Unwanted Plants				
<i>Avena fatua</i>	80	80	70	70
<i>Chenopodium album</i>	98	98	95	95
<i>Galium aparine</i>	80	80	70	70
<i>Setaria viridis</i>	100	100	98	98

09/091,300

Table 2: Herbicidal action of compound 3.90 of the present invention and comparison compound no. 5.5 of WO 96/26,206 at an application rate of 62.5 and 31.2 g/ha of active ingredient (post emergence treatment in greenhouse)

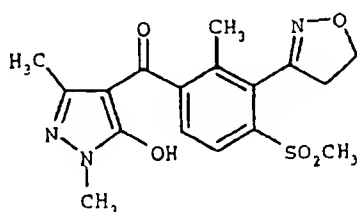


compound 3.90

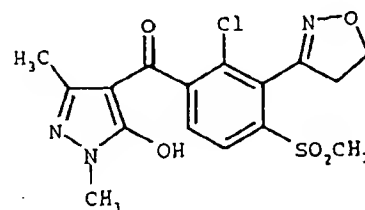
comparison compound no. 5.5
(WO 96/26,206)

Application rate	62.5 g/ha	31.2 g/ha	62.5 g/ha	31.2 g/ha
	Damage [%]			
Crop plant				
Zea mays	10	0	20	0
Unwanted Plants				
Abutilon theophrasti	80	80	75	60
Amaranthus retroflexus	80	80	70	60
Digitaria sanguinalis	100	100	100	98
Setaria Italica	95	90	90	85

Table 3: Herbicidal action of compound A of the present invention and comparison compound no. 5.4 of WO 96/26,206 at an application rate of 62.5 and 31.2 g/ha of active ingredient (post emergence treatment in greenhouse)



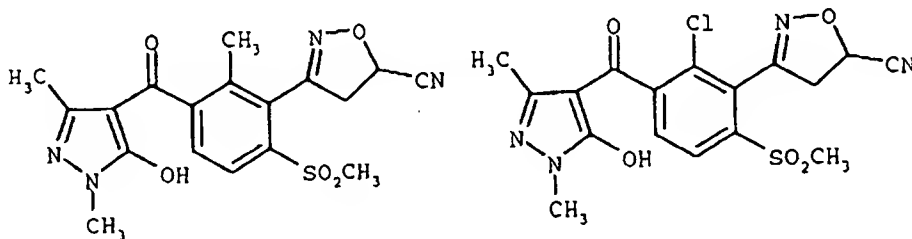
compound A

comparison compound no. 5.4
(WO 96/26,206)

Application rate	62.5 g/ha	31.2 g/ha	62.5 g/ha	31.2 g/ha
	Damage [%]			
Abutilon theophrasti	90	85	85	65
Brachiaria platyphylla	90	80	80	65
Polygonum persicaria	98	70	75	65
Sinapis alba	100	100	90	85
Stellaria media	100	100	90	85

09/091,300

Table 4: Herbicidal action of compound B of the present invention and comparison compound no. 1.267 of WO 96/26,206 at an application rate of 250 and 125 g/ha of active ingredient (post emergence treatment in greenhouse)



compound B

comparison compound no. 1.267
(WO 96/26,206)

Application rate	250 g/ha	125 g/ha	250 g/ha	125 g/ha
	Damage [%]			
Amaranthus retroflexus	90	90	40	30
Echinochloa crus-galli	90	85	70	40
Chenopodium album	98	95	90	90
Setaria viridis	70	50	40	30

The above-mentioned results clearly show the excellent herbicidal activity of the compounds at issue. They make a higher degree of control of unwanted plants possible, especially at lower application rates, compared with the closest compounds known from the prior art.

I further declare that all statements made herein of my own knowledge are true and that all statements made on information or belief are believed to be true; and further that these statements are made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issuing thereon.

Signed at 67056 Ludwigshafen, Germany, this 21 day of October, 1999.

Signature of Declarant

IN THE UNITED STATES PATENT & TRADEMARK OFFICE

In re Application of

von Deyn et al.

Serial No. 09/091,300

Filed: January 08, 1998 as PCT international application

Priority: January 17, 1997

For: 3-Heterocyclyl-substituted benzoyl derivatives

DECLARATION

I, Matthias Christian Witschel, a doctor of natural sciences, a citizen of the Federal Republic of Germany and residing at 81, Wittelsbachstrasse, 67061 Ludwigshafen, Germany, declare as follows:

I am a fully trained chemist, having studied chemistry at the University of Erlangen-Nuremberg, Germany, from 1985 to 1994;

I was awarded my doctor's degree by the University of Erlangen-Nuremberg in 1994;

I was a post-doctoral fellow at the Stanford University from 1994 to 1995;

Since 1996, when I joined BASF Aktiengesellschaft of 67056 Ludwigshafen, Germany, I have been engaged in the synthesis of herbicides and herbicide screening;

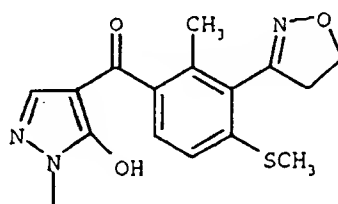
I am one of the inventors of the invention disclosed and claimed in Application No. 09/091,300 and therefore I am familiar with the field to which the said application relates. I have studied the Office Action that has issued in this case and read the references cited therein.

In order to show the herbicidal action of the inventive 3-heterocyclyl-substituted benzoyl derivatives I according to application Serial No. 09/091,300 I carried out experiments as described in Application Ser. No. 09/091,300 (see page 159, line 16 to page 160, line 9). The plants used in these experiments belong to the following species:

09/091,300

Scientific name	Common name
<i>Abutilon theophrasti</i>	Velvetleaf
<i>Alopecurus myosuroides</i>	Blackgrass
<i>Amaranthus retroflexus</i>	Pigweed
<i>Avena fatua</i>	Wild oat
<i>Chenopodium album</i>	Lambsquarters
<i>Echinochloa crus-galli</i>	Barnyardgrass
<i>Galium aparine</i>	Catchweed
<i>Ipomoea</i> ssp.	Morningglory
<i>Polygonum persicaria</i>	Ladysthumb
<i>Setaria faberi</i>	Giant foxtail
<i>Setaria viridis</i>	Green foxtail
<i>Sinapis alba</i>	White mustard
<i>Solanum nigrum</i>	Black nightshade
<i>Triticum aestivum</i>	Winter wheat
<i>Veronica</i> ssp.	Spadwell
<i>Zea mays</i>	Corn

Table 1: Herbicidal action of compound A of the present invention at an application rate of 500 and 250 g/ha of active ingredient (post emergence treatment in greenhouse)

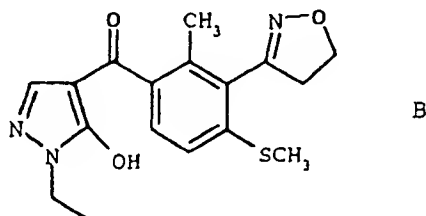


A

Application rate	500 g/ha	250 g/ha
	Damage [%]	
<i>Chenopodium album</i>	100	100
<i>Echinochloa crus-galli</i>	90	90
<i>Polygonum persicaria</i>	100	98
<i>Setaria viridis</i>	100	98
<i>Solanum nigrum</i>	95	95

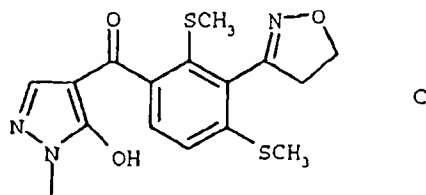
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Table 2: Herbicidal action of compound B of the present invention at an application rate of 125 and 62.5 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	125 g/ha	62.5 g/ha
	Damage [%]	
<i>Chenopodium album</i>	100	100
<i>Polygonum persicaria</i>	100	100
<i>Setaria faberi</i>	85	85
<i>Setaria viridis</i>	98	95

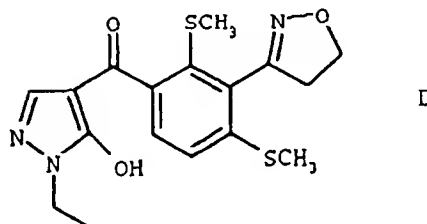
Table 3: Herbicidal action of compound C of the present invention at an application rate of 500 and 250 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	500 g/ha	250 g/ha
	Damage [%]	
<i>Amaranthus retroflexus</i>	95	95
<i>Chenopodium album</i>	98	98
<i>Ipomoea</i> ssp.	100	95
<i>Polygonum persicaria</i>	98	98
<i>Setaria faberi</i>	95	95
<i>Setaria viridis</i>	100	100

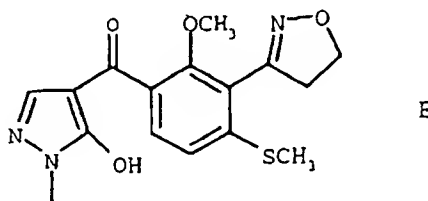
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Table 4: Herbicidal action of compound D of the present invention at an application rate of 500 and 250 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	500 g/ha	250 g/ha
	Damage [%]	
Chenopodium album	98	98
Ipomoea ssp.	100	95
Setaria faberi	95	95
Setaria viridis	100	100
Sinapis alba	98	98

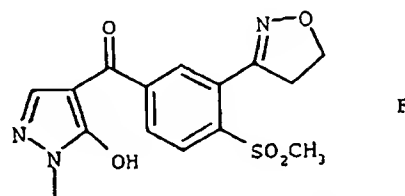
Table 5: Herbicidal action of compound E of the present invention at an application rate of 500 and 250 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	500 g/ha	250 g/ha
	Damage [%]	
Chenopodium album	95	95
Echinochloa crus-galli	90	90
Setaria viridis	95	95
Setaria faberi	95	95

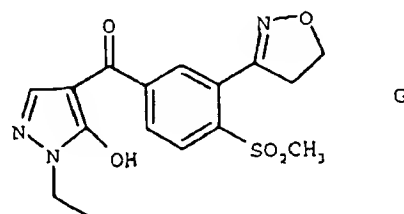
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Table 6: Herbicidal action of compound F of the present invention at an application rate of 500 and 250 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	500 g/ha	250 g/ha
	Damage [%]	
Crop Plant		
Triticum aestivum	0	0
Unwanted Plants		
Chenopodium album	95	95
Echinochloa crus-galli	90	90
Polygonum persicaria	95	95
Setaria faberi	90	90

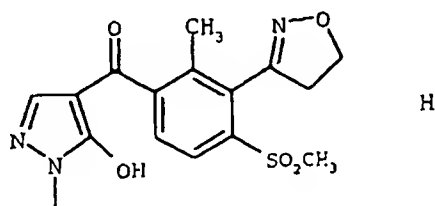
Table 7: Herbicidal action of compound G of the present invention at an application rate of 500 and 250 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	500 g/ha	250 g/ha
	Damage [%]	
Crop Plant		
Zea mays	0	0
Unwanted Plants		
Chenopodium album	100	100
Echinochloa crus-galli	90	90
Polygonum persicaria	98	90
Solanum nigrum	95	95

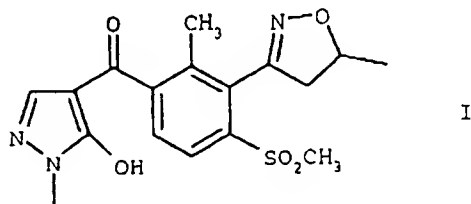
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Table 8: Herbicidal action of compound H of the present invention at an application rate of 125 and 62.5 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	125 g/ha	62.5 g/ha
	Damage [%]	
Amaranthus retroflexus	95	95
Chenopodium album	100	100
Ipomoea ssp.	100	100
Polygonum persicaria	98	98
Setaria viridis	98	98

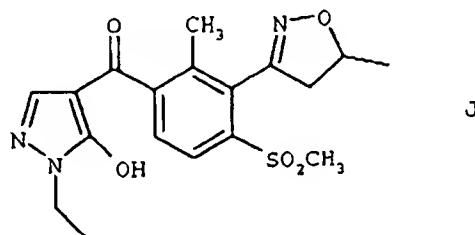
Table 9: Herbicidal action of compound I of the present invention at an application rate of 125 and 62.5 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	125 g/ha	62.5 g/ha
	Damage [%]	
Chenopodium album	100	100
Polygonum persicaria	100	100
Setaria viridis	95	90
Sinapis alba	98	95
Veronica ssp.	95	95

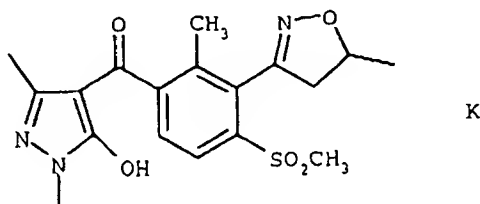
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Table 10: Herbicidal action of compound J of the present invention at an application rate of 125 and 62.5 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	125 g/ha	62.5 g/ha
	Damage [%]	
<i>Amaranthus retroflexus</i>	100	100
<i>Avena fatua</i>	95	90
<i>Chenopodium album</i>	98	98
<i>Polygonum persicaria</i>	100	100
<i>Sinapis alba</i>	98	98

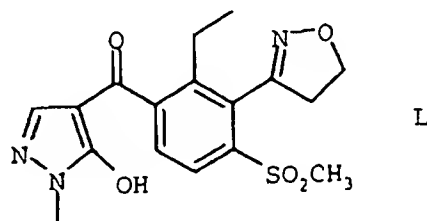
Table 11: Herbicidal action of compound K of the present invention at an application rate of 125 and 62.5 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	125 g/ha	62.5 g/ha
	Damage [%]	
<i>Chenopodium album</i>	100	100
<i>Ipomoea</i> ssp.	95	90
<i>Polygonum persicaria</i>	100	100
<i>Setaria viridis</i>	90	90
<i>Solanum nigrum</i>	90	90

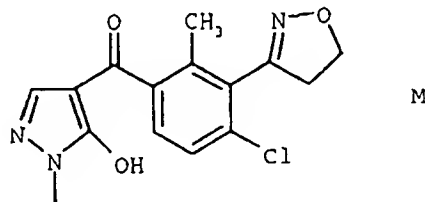
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Table 12: Herbicidal action of compound L of the present invention at an application rate of 500 and 250 g/ha of active Ingredient (post emergence treatment in greenhouse)



Application rate	500 g/ha	250 g/ha
	Damage [%]	
Abutilon theophrasti	95	95
Chenopodium album	100	100
Ipomoea ssp.	100	100
Setaria viridis	95	95
Sinapis alba	98	98

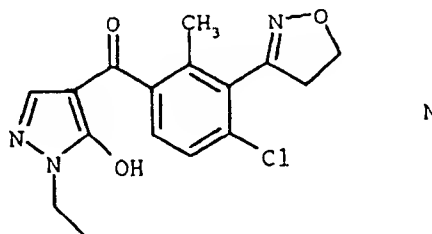
Table 13: Herbicidal action of compound M of the present invention at an application rate of 500 and 250 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	500 g/ha	250 g/ha
	Damage [%]	
Chenopodium album	100	100
Polygonum persicaria	100	100
Setaria faberii	95	95
Sinapis alba	100	100
Solanum nigrum	98	98

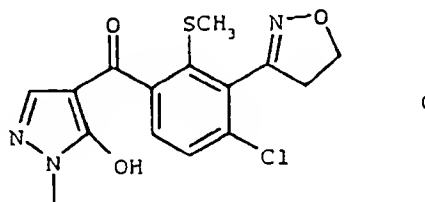
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Table 14: Herbicidal action of compound N of the present invention at an application rate of 500 and 250 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	500 g/ha	250 g/ha
	Damage [%]	
Chenopodium album	100	100
Gallum aparine	95	95
Polygonum persicaria	100	100
Setaria viridis	95	90
Solanum nigrum	100	98

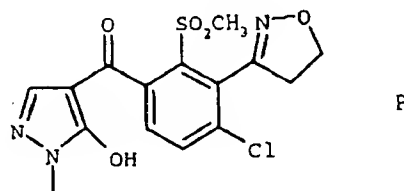
Table 15: Herbicidal action of compound O of the present invention at an application rate of 500 and 250 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	500 g/ha	250 g/ha
	Damage [%]	
Alopecurus myosuroides	95	95
Amaranthus retroflexus	100	100
Polygonum persicaria	100	100
Setaria viridis	100	98
Veronica ssp.	100	100

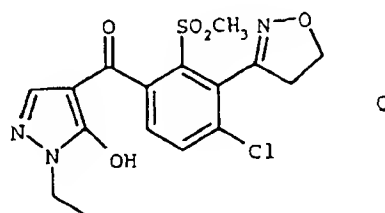
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Table 16: Herbicidal action of compound P of the present invention at an application rate of 250 and 125 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	250 g/ha	125 g/ha
	Damage [%]	
Chenopodium album	100	100
Ipomoea ssp.	90	90
Polygonum persicaria	100	98
Setaria faberi	90	85

Table 17: Herbicidal action of compound Q of the present invention at an application rate of 250 and 125 g/ha of active ingredient (post emergence treatment in greenhouse)



Application rate	250 g/ha	125 g/ha
	Damage [%]	
Chenopodium album	100	100
Polygonum persicaria	95	95
Setaria faberi	85	85
Solanum nigrum	95	90

The tables 1 to 17 clearly demonstrate that the compounds according to application Serial No. 09/091,300 are suitable for controlling unwanted plants.

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I further declare that all statements made herein of my own knowledge are true and that all statements made on information or belief are believed to be true; and further that these statements are made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issuing thereon.

Signed at 67056 Ludwigshafen, Germany, this 21 day of October, 1999.

Matrix Wheel

Signature of Declarant